

**Translation**

PATENT COOPERATION TREATY

PCT/JP2003/011753



**PCT**

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**INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY**  
(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference FP0191PCT	<b>FOR FURTHER ACTION</b> See Form PCT/IPEA/416	
International application No. PCT/JP2003/011753	International filing date (day/month/year) 16 September 2003 (16.09.2003)	Priority date (day/month/year) 19 September 2002 (19.09.2002)
International Patent Classification (IPC) or national classification and IPC C07C 323/62, 323/32, 317/32, 225/16, 217/64, 229/36, 271/22, A61K 31/137, 31/145, 31/165, 31/166, 31/198, 31/216, 31/235, 31/27, 31/421, 31/695, A61P 11/06, 17/00, 17/06, 19/02, 29/00, 37/02, 37/06, 37/08, C07D 263/20, 263/24		
Applicant KYORIN PHARMACEUTICAL CO., LTD.		

1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 4 sheets, including this cover sheet.

3. This report is also accompanied by ANNEXES, comprising:

a. ☐ (sent to the applicant and to the International Bureau) a total of \_\_\_\_\_ sheets, as follows:

☐ sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).

☐ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.

b. ☐ (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) \_\_\_\_\_, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).

4. This report contains indications relating to the following items:

☒ Box No. I Basis of the report

☐ Box No. II Priority

☐ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

☐ Box No. IV Lack of unity of invention

☒ Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

☒ Box No. VI Certain documents cited

☐ Box No. VII Certain defects in the international application

☐ Box No. VIII Certain observations on the international application

Date of submission of the demand 13 January 2004 (13.01.2004)	Date of completion of this report 14 July 2004 (14.07.2004)
Name and mailing address of the IPEA/JP	Authorized officer
Facsimile No.	Telephone No.

# INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/JP2003/011753

## Box No. I Basis of the report

1. With regard to the language, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

- ☐ This report is based on translations from the original language into the following language \_\_\_\_\_, which is language of a translation furnished for the purpose of:
- ☐ international search (under Rules 12.3 and 23.1(b))
  - ☐ publication of the international application (under Rule 12.4)
  - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)

2. With regard to the elements of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

- ☒ The international application as originally filed/furnished
- ☐ the description:  
 pages \_\_\_\_\_, as originally filed/furnished  
 pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_  
 pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- ☐ the claims:  
 pages \_\_\_\_\_, as originally filed/furnished  
 / pages\* \_\_\_\_\_, as amended (together with any statement) under Article 19  
 pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_  
 pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- ☐ the drawings:  
 pages \_\_\_\_\_, as originally filed/furnished  
 pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_  
 pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- ☐ a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.

3. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages \_\_\_\_\_
- ☐ the claims, Nos. \_\_\_\_\_
- ☐ the drawings, sheets/figs \_\_\_\_\_
- ☐ the sequence listing (*specify*): \_\_\_\_\_
- ☐ any table(s) related to sequence listing (*specify*): \_\_\_\_\_

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

- ☐ the description, pages \_\_\_\_\_
- ☐ the claims, Nos. \_\_\_\_\_
- ☐ the drawings, sheets/figs \_\_\_\_\_
- ☐ the sequence listing (*specify*): \_\_\_\_\_
- ☐ any table(s) related to sequence listing (*specify*): \_\_\_\_\_

\* If item 4 applies, some or all of those sheets may be marked "superseded."

## INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/JP 03/11753

**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement****1. Statement**

Novelty (N)	Claims	2-16	YES
	Claims	1	NO
Inventive step (IS)	Claims	2-16	YES
	Claims	1	NO
Industrial applicability (IA)	Claims	1-16	YES
	Claims		NO

**2. Citations and explanations**

Document 1: WO 40560 A1 (Fujisawa Pharmaceutical Co., Ltd.), 13 July 2000 & EP 1140849 A1 & JP 2002-534415 A

Document 2: EP 1092435 A1 (Kyowa Hakko Kogyo Co., Ltd.), 18 April 2001 & WO 00/01388 A1

Documents 1 and 2 are both cited in the international search report.

The invention set forth in claim 1 (compounds represented by general formula (1) wherein the symbols  $X = O$  and  $n = 1$ ) are disclosed in documents 1 and 2; therefore, claim 1 is not novel and does not involve an inventive step in the light of document 1 or document 2.

Neither of the documents above mentions or suggests that aforementioned compounds have immunosuppressant activity, or discloses or suggests such compounds substituted on the terminal aromatic ring with a trifluoromethyl group or benzyloxy group (represented by the symbol  $R_1$  in general formula (1)), so that claims 2-16 are novel and involve an inventive step relative to documents 1 and 2.

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/JP2003/011753

Box No. VI Certain documents cited

1. Certain published documents (Rule 70.10)

Application No. Patent No.	Publication date (day/month/year)	Filing date (day/month/year)	Priority date (valid claim) (day/month/year)
WO 02/94770 A2	28 November 2002 (28.11.2002)	20 May 2002 (20.05.2002)	24 May 2001 (24.05.2001)

[EX]

2. Non-written disclosures (Rule 70.9)

Kind of non-written disclosure	Date of non-written disclosure (day/month/year)	Date of written disclosure referring to non-written disclosure (day/month/year)